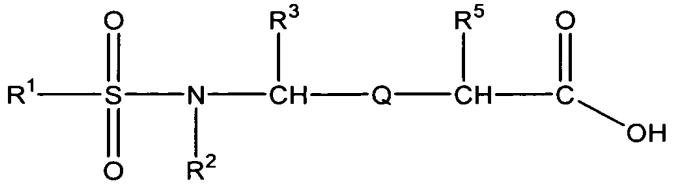
WHAT IS CLAIMED IS:

1. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula I below:



I

wherein

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 can form a heterocyclic or a substituted heterocyclic group;

R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R^2 does not form a heterocyclic group with R^1, R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a heterocyclic or a substituted heterocyclic group;

R^5 is $-(CH_2)_x$-Ar-$R^{5'}$ where $R^{5'}$ is selected from the group consisting of -O-Z-$NR^8R^{8'}$ and -O-Z- $R^{8''}$ wherein R^8 and $R^{8'}$ are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R^8 and $R^{8'}$ are joined to form a

heterocycle or a substituted heterocycle, $R^{8''}$ is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and -SO_2-;

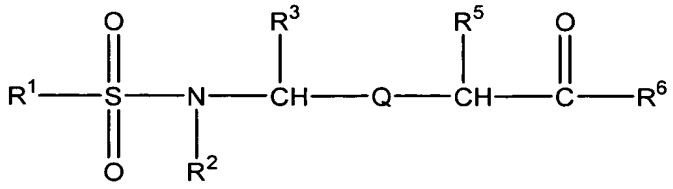
Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl;

x is an integer of from 1 to 4;

Q is -C(X)NR^7- wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof.

2. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IA below:



IA

wherein:

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 can form a heterocyclic or a substituted heterocyclic group;

R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R^2 does not form a

heterocyclic group with R^1, R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a heterocyclic or a substituted heterocyclic group;

R^5 is - $(CH_2)_x$-Ar-$R^{5'}$ where $R^{5'}$ is selected from the group consisting of -O-Z-$NR^8R^{8'}$ and -O-Z- $R^{8''}$ wherein R^8 and $R^{8'}$ are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R^8 and $R^{8'}$ are joined to form a heterocycle or a substituted heterocycle, $R^{8''}$ is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and -SO_2-;

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl;

x is an integer of from 1 to 4;

R^6 is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, -$NH(CH_2)_pCOOY$ where *p* is an integer of from 1 to 8 and Y is as defined above, -$OCH_2NR^9R^{10}$ where R^9 is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R^{10} is selected from the group consisting of hydrogen and -CH_2COOR^{11} where R^{11} is alkyl, and -$NHSO_2Z'$ where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic;

Q is -C(X)NR^7- wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof

with the following provisos

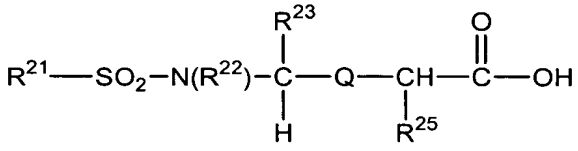
(A) when R^1 and R^2 together with the SO_2 group pendent to R^1 and the nitrogen pendent to R^2 form a saccharin-2-yl group, R^3 is -CH_3, R^5 is *p*-[$(CH_3)_2NC(O)O$-]benzyl and Q is -C(O)NH- then R^6 is not -$OC(CH_3)_3$;

(B) when R^1 is *p*-methylphenyl, R^2 and R^3 together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 form a pyrrodinyl ring derived from D-proline; R^5 is *p*-[(4-methylpiperazin-1-yl)NC(O)O-]benzyl derived from D-phenylalanine and Q is -C(O)NH- then R^6 is not $-OC(CH_3)_3$;

(C) when R^1 is pyrimidin-2-yl, R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 form a pyrrolidinyl ring, R^5 is *p*-[$(CH_3)_2NC(O)O$-]benzyl and Q is -C(O)NH- then R^6 is not $-OC(CH_3)_3$; and

(D) when R^1 is *p*-methylphenyl, R^2 and R^3 together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 form a (2S)-piperazin-2-carbonyl ring; R^5 is *p*-[$(CH_3)_2NC(O)O$-]benzyl and Q is -C(O)NH- then R^6 is not $-OC(CH_3)_3$.

3. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula II below:



II

wherein:

R^{21} is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^{22} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^{21} and R^{22} together with the nitrogen atom bound to R^{22} and the SO_2 group bound to R^{21} can form a heterocyclic or a substituted heterocyclic group;

R^{23} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and where R^{22} and R^{23} together with the nitrogen atom bound to R^{22} and the carbon atom bound to R^{23} can form a saturated heterocyclic group or a saturated substituted heterocyclic group with the proviso that when monosubstituted, the substituent on said saturated substituted heterocyclic group is not carboxyl;

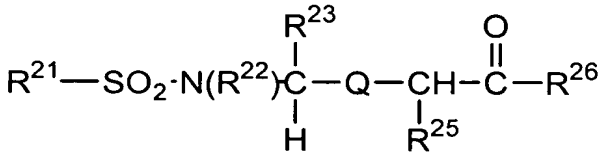
Q is $-C(X)NR^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl;

X is selected from the group consisting of oxygen and sulfur; and

R^{25} is $-CH_2Ar^{22}-R^{25'}$ where Ar^{22} is aryl or heteroaryl and $R^{25'}$ is selected from the group consisting of aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclic-O-, substituted heterocyclic-O-, heteroaralkoxy, and substituted heteroaralkoxy ;

and pharmaceutically acceptable salts thereof.

4. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IIA below:



IIA

where

R^{21} is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^{22} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, and substituted heteroaryl, and R^{21} and R^{22} together with the nitrogen atom bound to R^{22} and the SO_2 group bound to R^{21} can form a heterocyclic or a substituted heterocyclic group;

R^{23} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, and substituted heterocyclic, and R^{22} and R^{23} together with the nitrogen atom bound to R^{22} and the carbon atom bound to R^{23} can form a saturated heterocyclic group or a saturated substituted heterocyclic group with the proviso that when monosubstituted, the substituent on said saturated substituted heterocyclic group is not carboxyl;

R^{25} is $-CH_2Ar^{22}-R^{25'}$ where Ar^{22} is aryl or heteroaryl and $R^{25'}$ is selected from the group consisting of aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy, , heterocyclic-O-, substituted heterocyclic-O-, heteroaralkoxy, and substituted heteroaralkoxy ;

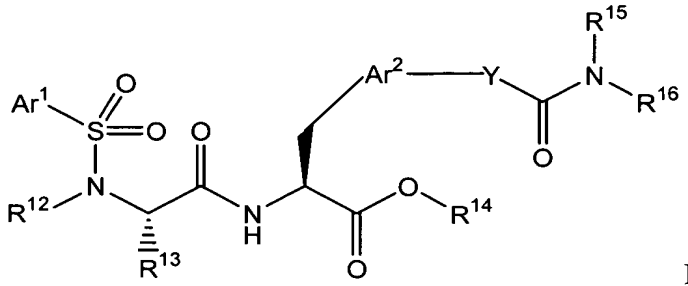
R^{26} is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, $-NH(CH_2)_pCOOY$ where *p* is an integer of from 1 to 8 and Y is as defined above, $-OCH_2NR^{29}R^{30}$ where R^{29} is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R^{30} is selected from the group consisting of hydrogen and $-CH_2COOR^{31}$ where R^{31} is alkyl, and $-NHSO_2Z'$ where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

Q is $-C(X)NR^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and

X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof.

5. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IB below:



IB

wherein:

Ar^1 is selected from the group consisting of aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

Ar^2 is selected from the group consisting of aryl, substituted aryl, heteroaryl and substituted heteroaryl;

R^{12} is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, and substituted cycloalkyl or R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic group;

R^{13} is selected from the group consisting of hydrogen, alkyl, and substituted alkyl, or R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic group;

R^{14} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, and substituted aryl;

R^{15} is selected from the group consisting of alkyl, and substituted alkyl, or R^{15} and R^{16} together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group;

R^{16} is selected from the group consisting of alkyl and substituted alkyl or R^{15} and R^{16} together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group; and

Y is selected from the group consisting of -O-, -NR^{100}-, and -CH_2- wherein R^{100} is hydrogen or alkyl;

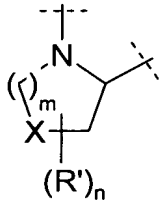
and pharmaceutically acceptable salts thereof.

6. The method according to claim 5, wherein R^{12} is alkyl, substituted alkyl, or R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic group; and R^{14} is hydrogen or alkyl.

7. The method according to claim 5, wherein Ar^1 is selected from the group consisting of phenyl, 4-methylphenyl, 4-*t*-butylphenyl, 2,4,6-trimethylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,4-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-bromophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3,4-dimethoxyphenyl, 4-*t*-butoxyphenyl, 4-(3'-dimethylamino-*n*-propoxy)-phenyl, 2-carboxyphenyl, 2-(methoxycarbonyl)phenyl, 4-($H_2NC(O)$-)phenyl, 4-($H_2NC(S)$-)phenyl, 4-cyanophenyl, 4-trifluoromethylphenyl, 4-trifluoromethoxyphenyl, 3,5-di-(trifluoromethyl)phenyl, 4-nitrophenyl, 4-aminophenyl, 4-($CH_3C(O)NH$-)phenyl, 4-(PhNHC(O)NH-)phenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[$CH_3SC(=NH)$-]phenyl, 4-chloro-3-[$H_2NS(O)_2$-]phenyl, 1-naphthyl, 2-naphthyl, pyridin-2-yl, pyridin-3-yl, pyridine-4-yl, pyrimidin-2-yl, quinolin-8-yl, 2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl, 2-thienyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-*N*-methylimidazol-4-yl, 1-*N*-

methylpyrazol-3-yl, 1-*N*-methylpyrazol-4-yl, 1-*N*-butylpyrazol-4-yl, 1-*N*-methyl-3-methyl-5-chloropyrazol-4-yl, 1-*N*-methyl-5-methyl-3-chloropyrazol-4-yl, 2-thiazolyl and 5-methyl-1,3,4-thiadiazol-2-yl.

8. The method according to claim 5, wherein R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic of the formula:



wherein

X is selected from the group consisting of -S-, -SO-, $-SO_2$, and optionally substituted $-CH_2-$;

m is an integer of 0 to 12;

n is an integer of 0 to 2; and

R' is selected from the group consisting of alkyl, substituted alkyl, and amino.

9. The method according to claim 8, wherein m is 1, X is -S- or $-CH_2-$, R' is alkyl or substituted alkyl.

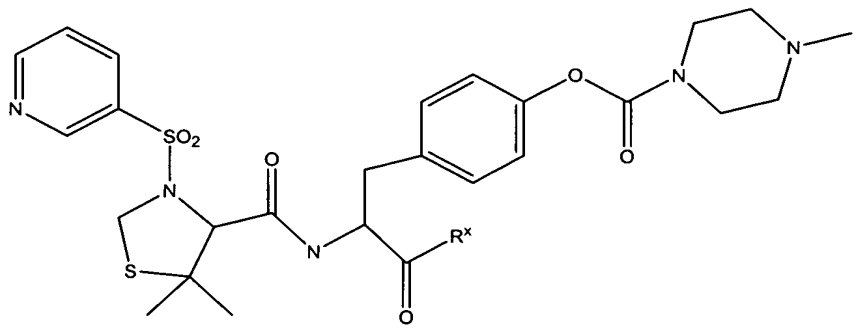
10. The method according to claim 8, wherein R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic selected from the group consisting of azetidinyl, thiazolidinyl, piperidinyl, piperazinyl, thiomorpholinyl, pyrrolidinyl, 4-hydroxypyrrolidinyl, 4-oxopyrrolidinyl, 4-fluoropyrrolidinyl, 4,4-difluoropyrrolidinyl, 4-(thiomorpholin-4-ylC(O)O-)pyrrolidinyl, 4-[$CH_3S(O)_2O$-]pyrrolidinyl, 3-phenylpyrrolidinyl, 3-thiophenylpyrrolidinyl, 4-aminopyrrolidinyl, 3-methoxypyrrolidinyl, 4,4-

dimethylpyrrolidinyl, 4-*N*-Cbz-piperazinyl, 4-[$CH_3S(O)_2$-]piperazinyl, thiazolidin-3-yl, 5,5-dimethyl-thiazolidin-3-yl, 5,5-dimethylthiazolindin-4-yl, 1,1-dioxo-thiazolidinyl, 1,1-dioxo-5,5-dimethylthiazolidin-2-yl and 1,1-dioxothiomorpholinyl.

11. The method according to claim 5, wherein Ar^2 is selected from the group consisting of phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, and 4-pyrid-2-onyl.

12. The method according to claim 5, wherein Y is -O-, and when Y is -O-, the moiety -$OC(O)NR^{15}R^{16}$ is selected from the group consisting of $(CH_3)_2NC(O)O$-, (piperidin-1-yl)C(O)O-, (4-hydroxypiperidin-1-yl)C(O)O-, (4-formyloxypiperidin-1-yl)C(O)O-, (4-ethoxycarbonylpiperidin-1-yl)C(O)O-, (4-carboxylpiperidin-1-yl)C(O)O-, (3-hydroxymethylpiperidin-1-yl)C(O)O-, (4-hydroxymethylpiperidin-1-yl)C(O)O-, (4-piperidon-1-yl ethylene ketal)C(O)O-, (piperazin-1-yl)-C(O)O-, (1-Boc-piperazin-4-yl)-C(O)O-, (4-methylpiperazin-1-yl)C(O)O-, (4-methylhomopiperazin-1-yl)C(O)O-, (4-(2-hydroxyethyl)piperazin-1-yl)C(O)O-, (4-phenylpiperazin-1-yl)C(O)O-, (4-(pyridin-2-yl)piperazin-1]-yl)C(O)O-, (4-(4-trifluoromethylpyridin-2-yl)piperazin-1-yl)C(O)O-, (4-(pyrimidin-2-yl)piperazin-1-yl)C(O)O-, (4-acetylpiperazin-1-yl)C(O)O-, (4-(phenylC(O)-)piperazin-1-yl)C(O)O-, (4-(pyridin-4'-ylC(O)-)piperazin-1-yl)C(O)O, (4-(phenylNHC(O)-)piperazin-1-yl)C(O)O-, (4-(phenylNHC(S)-)piperazin-1-yl)C(O)O-, (4-methanesulfonylpiperazin-1-yl-C(O)O-, (4-trifluoromethanesulfonylpiperazin-1-yl-C(O)O-, (morpholin-4-yl)C(O)O-, (thiomorpholin-4-yl)C(O)O-, (thiomorpholin-4'-yl sulfone)-C(O)O-, (pyrrolidin-1-yl)C(O)O-, (2-methylpyrrolidin-1-yl)C(O)O-, (2-(methoxycarbonyl)pyrrolidin-1-yl)C(O)O-, (2-(hydroxymethyl)pyrrolidin-1-yl)C(O)O-, (2-(N,N-dimethylamino)ethyl)(CH_3)NC(O)O-, (2-(N-methyl-N-toluene-4-sulfonylamino)ethyl)(CH_3)N-C(O)O-, (2-(morpholin-4-yl)ethyl)(CH_3)NC(O)O-, (2-(hydroxy)ethyl)(CH_3)NC(O)O-, bis(2-(hydroxy)ethyl)NC(O)O-, (2-(formyloxy)ethyl)(CH_3)NC(O)O-, $(CH_3OC(O)CH_2)$HNC(O)O-, and 2-[(phenylNHC(O)O-)ethyl-]HNC(O)O-.

13. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IC below:



IC

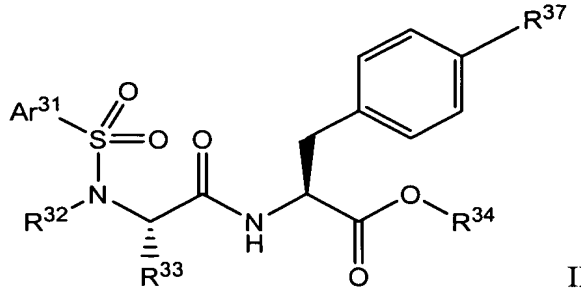
wherein

R^x is hydroxy or C_{1-5} alkoxy; and

pharmaceutically acceptable salts thereof.

14. The method according to claim 13, wherein the compound is *N*-[*N*-(3-pyridinesulfonyl)-L-3,3-dimethyl-4-thiaprolyl]-*O*-[1-methylpiperazin-4-ylcarbonyl]-L-tyrosine isopropyl ester.

15. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IIB below:



IIB

wherein:

Ar^{31} is selected from the group consisting of aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^{32} is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, and substituted cycloalkyl or R^{32} and R^{33} together with the nitrogen atom bound to R^{32} and the carbon atom bound to R^{33} form a heterocyclic or substituted heterocyclic group;

R^{33} is selected from the group consisting of hydrogen, alkyl, and substituted alkyl, or R^{32} and R^{33} together with the nitrogen atom bound to R^{32} and the carbon atom bound to R^{33} form a heterocyclic or substituted heterocyclic group;

R^{34} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, and substituted aryl; and

R^{37} is aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy;

and pharmaceutically acceptable salts thereof.

16. The method according to claim 15, wherein R^{32} is alkyl, substituted alkyl, or R^{32} and R^{33} together with the nitrogen atom bound to R^{32} and the carbon atom bound to R^{33} form a heterocyclic or substituted heterocyclic group; and R^{34} is hydrogen or alkyl.

17. The method according to claim 15, wherein R^{37} is aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, or substituted heterocyclic.

18. The method according to claim 17, wherein R^{37} is substituted aryl, wherein the aryl is substituted with one to three substituents independently selected from the group consisting alkyl and alkoxy, or a substituted heteroaryl, wherein the heteroaryl is substituted with one to three substituents independently selected from the group consisting alkyl, alkoxy, and oxo.

19. The method according to claim 17, wherein R^{37} is substituted aryl or substituted heteroaryl wherein aryl or heteroaryl is 2,6-di-substituted.

20. The method according to claim 19, wherein R^{37} is selected from the group consisting of 2,6-dialkoxyaryl, 2,6-dialkoxyheteroaryl, 2-alkyl-6-alkoxyaryl, 2-alkyl-6-alkoxyheteroaryl, 2-oxo-6-alkoxyheteroaryl, 2-oxo-6-alkylheteroaryl, and optionally substituted imidazolidin-2,4-dion-3-yl.

21. The method according to claim 15, wherein Ar^{31} is selected from the group consisting of 4-methylphenyl, 4-chlorophenyl, 1-naphthyl, 2-naphthyl, 4-methoxyphenyl, phenyl, 2,4,6-trimethylphenyl, 2-(methoxycarbonyl)phenyl, 2-carboxyphenyl, 3,5-dichlorophenyl, 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 3,4-dimethoxyphenyl, 4-($CH_3C(O)NH$-)phenyl, 4-trifluoromethoxyphenyl, 4-cyanophenyl, 3,5-di-(trifluoromethyl)phenyl, 4-*t*-butylphenyl, 4-*t*-butoxyphenyl, 4-nitrophenyl, 2-thienyl, 1-N-methyl-3-methyl-5-chloropyrazol-4-yl, 1-N-methylimidazol-4-yl, 4-bromophenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[$CH_3SC(=NH)$]phenyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-N-methyl-4-pyrazolyl, 2-thiazolyl, 5-methyl-1,3,4-thiadiazol-2-yl, 4-[$H_2NC(S)$]phenyl, 4-aminophenyl, 4-fluorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,5-difluorophenyl, pyridin-3-yl, pyrimidin-2-yl, 4-(3′-dimethylamino-*n*-propoxy)-phenyl, and 1-methylpyrazol-4-yl.

22. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is selected from the group consisting of:

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *n*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine cyclopentyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *n*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine cyclopentyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoyloxy)phenylalanine ethyl ester

N-(α-toluenesulfonyl)-L-prolyl-L-4-(*N*-methylisonipecotoyloxy)phenylalanine ethyl ester

N-(α-toluenesulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-3-(*N*,*N*-dimethylcarbamyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butylcarbonyloxy-4-phenylpiperidin-4-ylcarbonyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)sarcosyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(α-toluenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(α-toluenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(4-benzyloxycarbonylpiperazin-2-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(isonipecotoyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine

N-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(pyridine-3-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-D-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine neopentyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine neopentyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(pyridine-3-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(pyrimidine-2-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-acetylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)-3-nitrophenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-*N*-methyl-2-(*tert*-butyl)glycinyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-trifluoromethoxybenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

3-[*N*-(toluene-4-sulfonyl)-*N*-methylamino]-1-[1-*tert*-butyloxycarbonyl-2-(*N*,*N*-dimethylcarbamyloxy)phenylethyl]azetidin-2-one

N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(pyrimidine-2-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

3-[*N*-(toluene-4-sulfonyl)-*N*-methylamino]-1-[1-carboxy-2-(*N*,*N*-dimethylcarbamyloxy)phenylethyl]azetidin-2-one

N-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(2,5-dichlorothiophene-3-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-*tert*-butylbenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(pyridine-2-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(3-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(2,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(4-trifluoromethoxybenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *iso*-propyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4′-acetylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4′-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4′-phenylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4′-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine (N′-*tert*-butoxycarbonyl-2-amino-2-methylpropyl) ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4′-acetylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4′-hydroxypiperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2′-(morpholin-4′-yl)ethyl)carbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2′-hydroxyethyl)-N-methylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-4-(4′-(2-hydroxyethyl)piperazin-1-ylcarbonyloxy)-L-phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2′-formyloxyethyl)-N-methylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2′-hydroxyethyl)-N-methylcarbamyloxy)phenylalanine isopropyl ester

N-(toulene-4-sulfonyl)-L-prolyl-L-4-(N-(methoxycarbonylmethyl)carbamyloxy)phenylalanine *tert*-butyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(4-N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4′-methoxypiperidin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4′-methoxypiperidin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-*trans*-4-hydroxyprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(3-fluorobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(morpholino-sulfonyl)-L-prolyl-L-(4-*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(morpholino-sulfonyl)-L-prolyl-L-(4-*N,N*-dimethylcarbamyloxy)phenylalanine

N-(1-methylpyrazole-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(2,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl-thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(1-methylpyrazole-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(4-*tert*-butylbenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-(3,3-dimethyl)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(2,5-dichlorothiophene-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(4-methoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(4-methoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-(1-oxo-thiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(1-oxo-thiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(3,4-difluorobenzenesulfonyl)-L-prolyl-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3,4-difluorobenzenesulfonyl)-L-prolyl-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(3,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(3,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester

N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(pyridine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(pyridine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(pyridine-2-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(pyridine-2-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(2-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3,4-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3,5-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(2,4-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(4-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(2-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3,4-dichlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3,5-dichlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3-chlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(3,4-dichlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(2-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3,4-dimethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(2,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3,4-dichlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(3-chlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(3-chloro-4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(3,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thioprolyl-L-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

N-(3,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(2,5-dichlorothiophene-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

N-(8-quinolinesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(8-quinolinesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(8-quinolinesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isoproplyl ester

N-(8-quinolinesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4′-(ethoxycarbonyl)piperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(3-sulfonamido-4-chloro-benzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-(1-oxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(2,4-difluorobenzenefulfonyl)-L-(1-oxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropyl ester

N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine cyclopropylmethyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine methyl ester

N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester

N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine cyclopropylmethyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-methoxyphenyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *n*-butyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *n*-propyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropionyloxymethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-(4'-(2'-aminoethyl)morpholino)carbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-[4-(carboxy)piperidin-1-ylcarbonyloxy]phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-bis-(2-hydroxyethyl)carbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-trifluoromethanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-(*N*-phenylurea)benzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(2-trifluoroacetyl-1,2,3,4-tetrahydroisoquinolin-7-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(pyridine-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(pyridine-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-methyl-N-(2-dimethylaminoethyl)carbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiapropyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethycarbamyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)]phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)]phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2'-pyridyl)-piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2'-pyridyl)-piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

N-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylcarbamylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylcarbamylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(1-*n*-butylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyridin-4-ylcarbonyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-*trans*-4-hydroxyprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine

N-(toluene-4-sulfonyl)-L-(4,4-difluoro)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-(4,4-difluoro)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(1-methyl-1H-imidazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-4-(thiomorpholin-4-ylcarbonyloxy)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

N-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine methyl ester

N-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-4-hydroxyprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine methyl ester

N-(3-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-[*N*-methyl-*N*-(2-(*N*'-methyl-*N*'-toluenesulfonyl-amino)ethyl)carbamyloxy]phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-[*N*-(2-(*N*'-phenylaminocarbonyloxy)ethyl)carbamyloxy)]phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-L-4-(*trans*-hydroxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-L-4-(*trans*-hydroxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(pyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(2-methoxycarbonylpyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(4-hydroxy)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-(2-methoxyethoxy)ethyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-fluoro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-(1-methanesulfonylpyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(4-hydroxy)prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(4-oxo)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(4-oxo)prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine

N-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

N-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(*N*-phenylthiocarbonyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-4-(methanesulfonyloxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-aminocarbonylbenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(4-aminocarbonylbenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine ethyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(1-methanesulfonylpyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-4-(methanesulfonyloxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(4-hydroxy)prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(1-methylimidazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-phenoxyethyl ester

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

N-(3-chloro-1,5-dimethylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(5-trifluoromethyl-2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

and pharmaceutically acceptable salts thereof.

23. The method according to any one of claims 5, 13, and 15, wherein the mammal is a human.

24. The method according to any one of claims 5, 13, and 15, wherein the human suffers from a condition which demyelinates cells, and wherein said condition is multiple sclerosis, a congenital metabolic disorder, a neuropathy with abnormal myelination, drug induced demyelination, radiation induced demyelination, a hereditary demyelinating condition, a prion induced demyelinating condition, encephalitis induced demyelination, or a spinal cord injury.

25. The method according to claim 24, wherein the human suffers from multiple sclerosis.

26. The method according to any one of claims 5, 13, and 15, wherein the compound is administered parenterally.

27. The method according to any one of claims 5, 13, and 15, wherein the compound is administered chronically to the mammal in need thereof.

28. The method according to claim 27, wherein the chronic administration of the compound is weekly or monthly over a period of at least one year.

29. The method according to any one of claims 5, 13, and 15, wherein an anti-inflammatory agent is co-administered with the compound to the mammal.

30. The method according to claim 29, wherein an anti-inflammatory agent is co-administered with the compound to the mammal.

31. The method according to claim 30, wherein the anti-inflammatory agent is adrenocorticotropic hormone, a corticosteroid, an interferon, glatiramer acetate, or a non-steroidal anti-inflammatory drug.

32. The method according to claim 31, wherein the interferon is interferon beta-1b or interferon beta-1a.

33. The method according to claim 31, wherein the corticosteroid is prednisone, methylprednisolone, dexamethasone cortisol, cortisone, fludrocortisone, prednisolone, 6α-methylprednisolone, triamcinolone, or betamethasone.

34. The method according to claim 33, wherein the corticosteroid is prednisone.

35. The method according to claim 31, wherein the non-steroidal anti-inflammatory drug is aspirin, a sodium salicylate, choline magnesium trisalicylate, salsalate, diflunisal, sulfasalazine, olsalazine, a para-aminophenol derivatives, an indole, an indene acetic acid, a heteroaryl acetic acid, an anthranilic acid, an enolic acid, an alkanones, a diaryl-substituted furanone, a diaryl-substituted pyrazoles, an indole acetic acids, or a sulfonanilide.

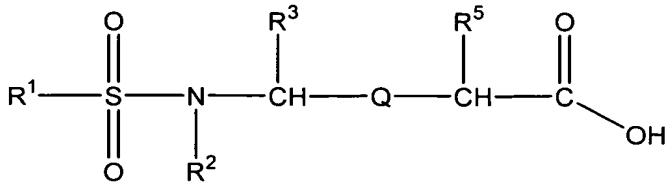
36. The method according to any one of claims 5, 13, and 15, wherein the compound is administered intravenously or subcutaneously.

37. The method according to claim 36, wherein the compound is administered intravenously to a mammal, and wherein the administration results in an effective blood level of the compound in the mammal of $\geq$ 10 ng/ml.

38. The method according to claim 36, wherein the compound is administered intravenously in an amount of 20 μg to about 500 μg per kilogram body weight of the mammal.

39. A combination therapy comprising a therapeutically effective amount of a compound, which prevents demyelination and promotes remyelination when administered to a subject in need thereof, and an anti-inflammatory agent.

40. The combination therapy according to claim 39, wherein the compound is of formula I below:



I

wherein

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 can form a heterocyclic or a substituted heterocyclic group;

R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R^2 does not form a heterocyclic group with R^1, R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a heterocyclic or a substituted heterocyclic group;

R^5 is $-(CH_2)_x-Ar-R^{5'}$ where $R^{5'}$ is selected from the group consisting of -O-Z-$NR^8R^{8'}$ and -O-Z- $R^{8''}$ wherein R^8 and $R^{8'}$ are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R^8 and $R^{8'}$ are joined to form a heterocycle or a substituted heterocycle, $R^{8''}$ is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and $-SO_2-$;

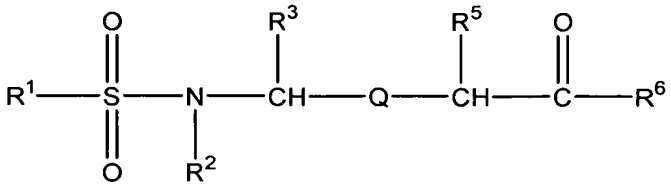
Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl;

x is an integer of from 1 to 4;

Q is -C(X)NR^7- wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof.

41. The combination therapy according to claim 39, wherein the compound is of formula IA below:



IA

wherein:

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 can form a heterocyclic or a substituted heterocyclic group;

R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R^2 does not form a heterocyclic group with R^1, R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a heterocyclic or a substituted heterocyclic group;

R^5 is - $(CH_2)_x$-Ar-R$^{5'}$ where R$^{5'}$ is selected from the group consisting of -O-Z-NR^{8}R$^{8'}$ and -O-Z- R$^{8''}$ wherein R^8 and R$^{8'}$ are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl,

heterocyclic, substituted heterocyclic, and where R^8 and $R^{8'}$ are joined to form a heterocycle or a substituted heterocycle, $R^{8''}$ is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and $-SO_2-$;

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl;

x is an integer of from 1 to 4;

R^6 is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, $-NH(CH_2)_pCOOY$ where *p* is an integer of from 1 to 8 and Y is as defined above, $-OCH_2NR^9R^{10}$ where R^9 is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R^{10} is selected from the group consisting of hydrogen and $-CH_2COOR^{11}$ where R^{11} is alkyl, and $-NHSO_2Z'$ where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic;

Q is $-C(X)NR^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof

with the following provisos

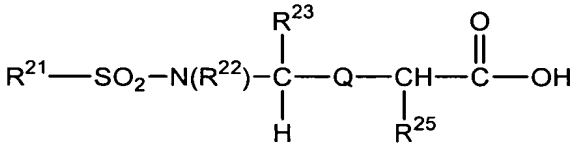
(A) when R^1 and R^2 together with the SO_2 group pendent to R^1 and the nitrogen pendent to R^2 form a saccharin-2-yl group, R^3 is $-CH_3$, R^5 is *p*-[$(CH_3)_2NC(O)O-$]benzyl and Q is -C(O)NH- then R^6 is not $-OC(CH_3)_3$;

(B) when R^1 is *p*-methylphenyl, R^2 and R^3 together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 form a pyrrodinyl ring derived from D-proline; R^5 is *p*-[(4-methylpiperazin-1-yl)NC(O)O-]benzyl derived from D-phenylalanine and Q is -C(O)NH- then R^6 is not $-OC(CH_3)_3$;

(C) when R^1 is pyrimidin-2-yl, R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 form a pyrrolidinyl ring, R^5 is *p*-[$(CH_3)_2NC(O)O$-]benzyl and Q is -C(O)NH- then R^6 is not $-OC(CH_3)_3$; and

(D) when R^1 is *p*-methylphenyl, R^2 and R^3 together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 form a (2S)-piperazin-2-carbonyl ring; R^5 is *p*-[$(CH_3)_2NC(O)O$-]benzyl and Q is -C(O)NH- then R^6 is not $-OC(CH_3)_3$.

42. The combination therapy according to claim 39, wherein the compound is of formula II below:



II

wherein:

R^{21} is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^{22} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^{21} and R^{22} together with the nitrogen atom bound to R^{22} and the SO_2 group bound to R^{21} can form a heterocyclic or a substituted heterocyclic group;

R^{23} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and where R^{22} and R^{23} together with the nitrogen atom bound to R^{22} and the carbon atom bound to R^{23} can form a saturated heterocyclic group or a saturated substituted heterocyclic group with the proviso that when monosubstituted, the substituent on said saturated substituted heterocyclic group is not carboxyl;

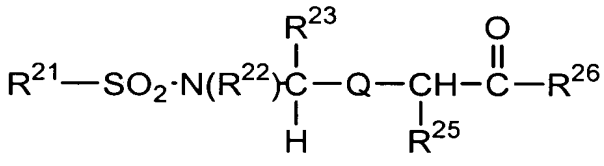
Q is -C(X)NR^7- wherein R^7 is selected from the group consisting of hydrogen and alkyl;

X is selected from the group consisting of oxygen and sulfur; and

R^{25} is -CH$_2$Ar^{22}-R$^{25'}$ where Ar^{22} is aryl or heteroaryl and R$^{25'}$ is selected from the group consisting of aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclic-O-, substituted heterocyclic-O-, heteroaralkoxy, and substituted heteroaralkoxy ;

and pharmaceutically acceptable salts thereof.

43. The combination therapy according to claim 39, wherein the compound is of formula IIA below:



IIA

where

R^{21} is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^{22} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, and substituted heteroaryl, and R^{21} and R^{22} together with the nitrogen atom bound to R^{22} and the SO$_2$ group bound to R^{21} can form a heterocyclic or a substituted heterocyclic group;

R^{23} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, and substituted heterocyclic, and R^{22} and R^{23} together with the

nitrogen atom bound to R^{22} and the carbon atom bound to R^{23} can form a saturated heterocyclic group or a saturated substituted heterocyclic group with the proviso that when monosubstituted, the substituent on said saturated substituted heterocyclic group is not carboxyl;

R^{25} is $-CH_2Ar^{22}-R^{25'}$ where Ar^{22} is aryl or heteroaryl and $R^{25'}$ is selected from the group consisting of aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy, , heterocyclic-O-, substituted heterocyclic-O-, heteroaralkoxy, and substituted heteroaralkoxy ;

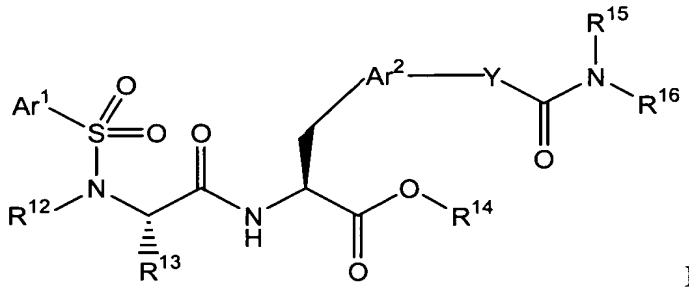
R^{26} is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, $-NH(CH_2)_pCOOY$ where *p* is an integer of from 1 to 8 and Y is as defined above, $-OCH_2NR^{29}R^{30}$ where R^{29} is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R^{30} is selected from the group consisting of hydrogen and $-CH_2COOR^{31}$ where R^{31} is alkyl, and $-NHSO_2Z'$ where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

Q is $-C(X)NR^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and

X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof.

44. The combination therapy according to claim 39, wherein the compound is of formula IB below:



IB

wherein:

Ar^1 is selected from the group consisting of aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

Ar^2 is selected from the group consisting of aryl, substituted aryl, heteroaryl and substituted heteroaryl;

R^{12} is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, and substituted cycloalkyl or R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic group;

R^{13} is selected from the group consisting of hydrogen, alkyl, and substituted alkyl, or R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic group;

R^{14} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, and substituted aryl;

R^{15} is selected from the group consisting of alkyl, and substituted alkyl, or R^{15} and R^{16} together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group;

R^{16} is selected from the group consisting of alkyl and substituted alkyl or R^{15} and R^{16} together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group; and

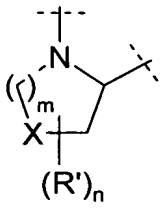
Y is selected from the group consisting of -O-, $-NR^{100}-$, and $-CH_2-$ wherein R^{100} is hydrogen or alkyl;

and pharmaceutically acceptable salts thereof.

45. The combination therapy according to claim 44, wherein R^{12} is alkyl, substituted alkyl, or R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic group; and R^{14} is hydrogen or alkyl.

46. The combination therapy according to claim 44, wherein Ar^1 is selected from the group consisting of phenyl, 4-methylphenyl, 4-*t*-butylphenyl, 2,4,6-trimethylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,4-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-bromophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3,4-dimethoxyphenyl, 4-*t*-butoxyphenyl, 4-(3'-dimethylamino-*n*-propoxy)-phenyl, 2-carboxyphenyl, 2-(methoxycarbonyl)phenyl, 4-($H_2NC(O)$-)phenyl, 4-($H_2NC(S)$-)phenyl, 4-cyanophenyl, 4-trifluoromethylphenyl, 4-trifluoromethoxyphenyl, 3,5-di-(trifluoromethyl)phenyl, 4-nitrophenyl, 4-aminophenyl, 4-($CH_3C(O)NH$-)phenyl, 4-(PhNHC(O)NH-)phenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[$CH_3SC(=NH)$-]phenyl, 4-chloro-3-[$H_2NS(O)_2$-]phenyl, 1-naphthyl, 2-naphthyl, pyridin-2-yl, pyridin-3-yl, pyridine-4-yl, pyrimidin-2-yl, quinolin-8-yl, 2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl, 2-thienyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-*N*-methylimidazol-4-yl, 1-*N*-methylpyrazol-3-yl, 1-*N*-methylpyrazol-4-yl, 1-*N*-butylpyrazol-4-yl, 1-*N*-methyl-3-methyl-5-chloropyrazol-4-yl, 1-*N*-methyl-5-methyl-3-chloropyrazol-4-yl, 2-thiazolyl and 5-methyl-1,3,4-thiadiazol-2-yl.

47. The combination therapy according to claim 44, wherein R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic of the formula:



wherein

X is selected from the group consisting of -S-, -SO-, $-SO_2$, and optionally substituted $-CH_2-$;

m is an integer of 0 to 12;

n is an integer of 0 to 2; and

R' is selected from the group consisting of alkyl, substituted alkyl, and amino.

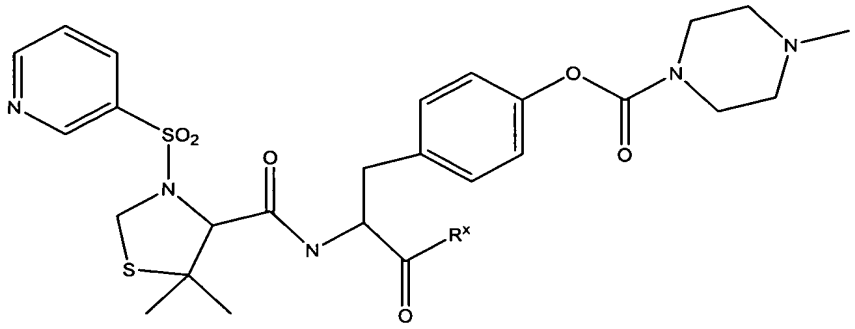
48. The combination therapy according to claim 47, wherein m is 1, X is -S- or $-CH_2-$, R' is alkyl or substituted alkyl.

49. The combination therapy according to claim 47, wherein R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic selected from the group consisting of azetidinyl, thiazolidinyl, piperidinyl, piperazinyl, thiomorpholinyl, pyrrolidinyl, 4-hydroxypyrrolidinyl, 4-oxopyrrolidinyl, 4-fluoropyrrolidinyl, 4,4-difluoropyrrolidinyl, 4-(thiomorpholin-4-ylC(O)O-)pyrrolidinyl, 4-[$CH_3S(O)_2O$-]pyrrolidinyl, 3-phenylpyrrolidinyl, 3-thiophenylpyrrolidinyl, 4-aminopyrrolidinyl, 3-methoxypyrrolidinyl, 4,4-dimethylpyrrolidinyl, 4-*N*-Cbz-piperazinyl, 4-[$CH_3S(O)_2$-]piperazinyl, thiazolidin-3-yl, 5,5-dimethyl-thiazolidin-3-yl, 5,5-dimethylthiazolindin-4-yl, 1,1-dioxo-thiazolidinyl, 1,1-dioxo-5,5-dimethylthiazolidin-2-yl and 1,1-dioxothiomorpholinyl.

50. The combination therapy according to claim 44, wherein Ar^2 is selected from the group consisting of phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, and 4-pyrid-2-onyl.

51. The combination therapy according to claim 44, wherein Y is -O-, and when Y is -O-, the moiety $-OC(O)NR^{15}R^{16}$ is selected from the group consisting of $(CH_3)_2NC(O)O$-, (piperidin-1-yl)C(O)O-, (4-hydroxypiperidin-1-yl)C(O)O-, (4-formyloxypiperidin-1-yl)C(O)O-, (4-ethoxycarbonylpiperidin-1-yl)C(O)O-, (4-carboxylpiperidin-1-yl)C(O)O-, (3-hydroxymethylpiperidin-1-yl)C(O)O-, (4-hydroxymethylpiperidin-1-yl)C(O)O-, (4-piperidon-1-yl ethylene ketal)C(O)O-, (piperazin-1-yl)-C(O)O-, (1-Boc-piperazin-4-yl)-C(O)O-, (4-methylpiperazin-1-yl)C(O)O-, (4-methylhomopiperazin-1-yl)C(O)O-, (4-(2-hydroxyethyl)piperazin-1-yl)C(O)O-, (4-phenylpiperazin-1-yl)C(O)O-, (4-(pyridin-2-yl)piperazin-1]-yl)C(O)O-, (4-(4-trifluoromethylpyridin-2-yl)piperazin-1-yl)C(O)O-, (4-(pyrimidin-2-yl)piperazin-1-yl)C(O)O-, (4-acetylpiperazin-1-yl)C(O)O-, (4-(phenylC(O)-)piperazin-1-yl)C(O)O-, (4-(pyridin-4'-ylC(O)-)piperazin-1-yl)C(O)O, (4-(phenylNHC(O)-)piperazin-1-yl)C(O)O-, (4-(phenylNHC(S)-)piperazin-1-yl)C(O)O-, (4-methanesulfonylpiperazin-1-yl-C(O)O-, (4-trifluoromethanesulfonylpiperazin-1-yl-C(O)O-, (morpholin-4-yl)C(O)O-, (thiomorpholin-4-yl)C(O)O-, (thiomorpholin-4'-yl sulfone)-C(O)O-, (pyrrolidin-1-yl)C(O)O-, (2-methylpyrrolidin-1-yl)C(O)O-, (2-(methoxycarbonyl)pyrrolidin-1-yl)C(O)O-, (2-(hydroxymethyl)pyrrolidin-1-yl)C(O)O-, (2-(N,N-dimethylamino)ethyl)(CH_3)NC(O)O-, (2-(N-methyl-N-toluene-4-sulfonylamino)ethyl)(CH_3)N-C(O)O-, (2-(morpholin-4-yl)ethyl)(CH_3)NC(O)O-, (2-(hydroxy)ethyl)(CH_3)NC(O)O-, bis(2-(hydroxy)ethyl)NC(O)O-, (2-(formyloxy)ethyl)(CH_3)NC(O)O-, $(CH_3OC(O)CH_2)$HNC(O)O-, and 2-[(phenylNHC(O)O-)ethyl-]HNC(O)O-.

52. The combination therapy according to claim 39, wherein the compound is of formula IC below:



IC

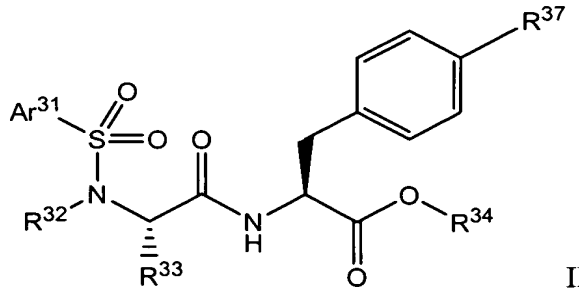
wherein

R^x is hydroxy or C_{1-5} alkoxy; and

pharmaceutically acceptable salts thereof.

53. The combination therapy according to claim 52, wherein the compound is *N*-[*N*-(3-pyridinesulfonyl)-L-3,3-dimethyl-4-thiaprolyl]-*O*-[1-methylpiperazin-4-ylcarbonyl]-L-tyrosine isopropyl ester.

54. The combination therapy according to claim 39, wherein the compound is of formula IIB below:



IIB

wherein:

Ar^{31} is selected from the group consisting of aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^{32} is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, and substituted cycloalkyl or R^{32} and R^{33} together with the nitrogen atom bound to R^{32} and the carbon atom bound to R^{33} form a heterocyclic or substituted heterocyclic group;

R^{33} is selected from the group consisting of hydrogen, alkyl, and substituted alkyl, or R^{32} and R^{33} together with the nitrogen atom bound to R^{32} and the carbon atom bound to R^{33} form a heterocyclic or substituted heterocyclic group;

R^{34} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, and substituted aryl; and

R^{37} is aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy;

and pharmaceutically acceptable salts thereof.

55. The combination therapy according to claim 54, wherein R^{32} is alkyl, substituted alkyl, or R^{32} and R^{33} together with the nitrogen atom bound to R^{32} and the carbon atom bound to R^{33} form a heterocyclic or substituted heterocyclic group; and R^{34} is hydrogen or alkyl.

56. The combination therapy according to claim 54, wherein R^{37} is aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, or substituted heterocyclic.

57. The combination therapy according to claim 56, wherein R^{37} is substituted aryl, wherein the aryl is substituted with one to three substituents independently selected from the group consisting alkyl and alkoxy, or a substituted heteroaryl, wherein the heteroaryl is substituted with one to three substituents independently selected from the group consisting alkyl, alkoxy, and oxo.

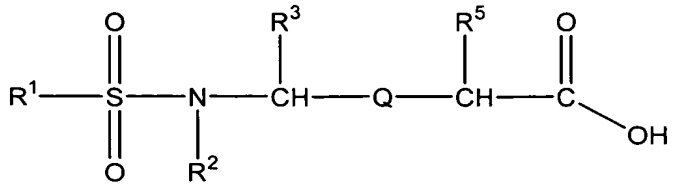
58. The combination therapy according to claim 56, wherein R^{37} is substituted aryl or substituted heteroaryl wherein aryl or heteroaryl is 2,6-di-substituted.

59. The combination therapy according to claim 58, wherein R^{37} is selected from the group consisting of 2,6-dialkoxyaryl, 2,6-dialkoxyheteroaryl, 2-alkyl-6-alkoxyaryl, 2-alkyl-6-alkoxyheteroaryl, 2-oxo-6-alkoxyheteroaryl, 2-oxo-6-alkylheteroaryl, and optionally substituted imidazolidin-2,4-dion-3-yl.

60. The combination therapy according to claim 58, wherein Ar^{31} is selected from the group consisting of 4-methylphenyl, 4-chlorophenyl, 1-naphthyl, 2-naphthyl, 4-methoxyphenyl, phenyl, 2,4,6-trimethylphenyl, 2-(methoxycarbonyl)phenyl, 2-carboxyphenyl, 3,5-dichlorophenyl, 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 3,4-dimethoxyphenyl, 4-($CH_3C(O)NH$-)phenyl, 4-trifluoromethoxyphenyl, 4-cyanophenyl, 3,5-di-(trifluoromethyl)phenyl, 4-*t*-butylphenyl, 4-*t*-butoxyphenyl, 4-nitrophenyl, 2-thienyl, 1-N-methyl-3-methyl-5-chloropyrazol-4-yl, 1-N-methylimidazol-4-yl, 4-bromophenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[$CH_3SC(=NH)$]phenyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-N-methyl-4-pyrazolyl, 2-thiazolyl, 5-methyl-1,3,4-thiadiazol-2-yl, 4-[$H_2NC(S)$]phenyl, 4-aminophenyl, 4-fluorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,5-difluorophenyl, pyridin-3-yl, pyrimidin-2-yl, 4-(3′-dimethylamino-*n*-propoxy)-phenyl, and 1-methylpyrazol-4-yl.

61. The combination therapy according to any one of claims 44, 52, and 54, wherein the subject in need of remyelination suffers from multiple sclerosis, a congenital metabolic disorder, a neuropathy with abnormal myelination, drug induced demyelination, radiation induced demyelination, a hereditary demyelinating condition, a prion induced demyelinating condition, encephalitis induced demyelination, or a spinal cord injury.

62. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to inhibit lymphocyte infiltration of immune cells in the spinal cord to promote remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is of formula I below



I

wherein

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 can form a heterocyclic or a substituted heterocyclic group;

R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R^2 does not form a heterocyclic group with R^1, R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a heterocyclic or a substituted heterocyclic group;

R^5 is $-(CH_2)_x$-Ar-$R^{5'}$ where $R^{5'}$ is selected from the group consisting of -O-Z-$NR^8R^{8'}$ and -O-Z- $R^{8''}$ wherein R^8 and $R^{8'}$ are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R^8 and $R^{8'}$ are joined to form a

heterocycle or a substituted heterocycle, $R^{8''}$ is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and -SO_2-;

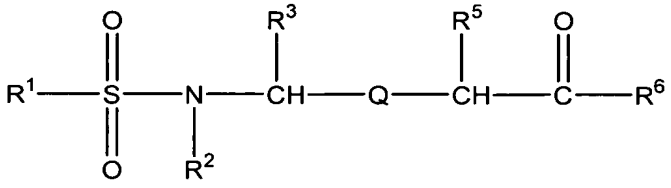
Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl;

x is an integer of from 1 to 4;

Q is -C(X)NR^7- wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof.

63. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to inhibit lymphocyte infiltration of immune cells in the spinal cord to promote remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is of formula IA below



IA

wherein:

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 can form a heterocyclic or a substituted heterocyclic group;

R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R^2 does not form a heterocyclic group with R^1, R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a heterocyclic or a substituted heterocyclic group;

R^5 is - $(CH_2)_x$-Ar-$R^{5'}$ where $R^{5'}$ is selected from the group consisting of -O-Z-$NR^8R^{8'}$ and -O-Z- $R^{8''}$ wherein R^8 and $R^{8'}$ are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R^8 and $R^{8'}$ are joined to form a heterocycle or a substituted heterocycle, $R^{8''}$ is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and -SO_2-;

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl;

x is an integer of from 1 to 4;

R^6 is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, -$NH(CH_2)_pCOOY$ where *p* is an integer of from 1 to 8 and Y is as defined above, -$OCH_2NR^9R^{10}$ where R^9 is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R^{10} is selected from the group consisting of hydrogen and -CH_2COOR^{11} where R^{11} is alkyl, and -$NHSO_2Z'$ where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic;

Q is -$C(X)NR^7$- wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof

with the following provisos

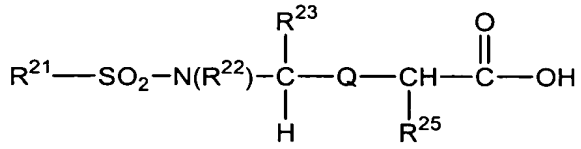
(A) when R^1 and R^2 together with the SO_2 group pendent to R^1 and the nitrogen pendent to R^2 form a saccharin-2-yl group, R^3 is $-CH_3$, R^5 is *p*-[$(CH_3)_2NC(O)O$-]benzyl and Q is -C(O)NH- then R^6 is not $-OC(CH_3)_3$;

(B) when R^1 is *p*-methylphenyl, R^2 and R^3 together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 form a pyrrodinyl ring derived from D-proline; R^5 is *p*-[(4-methylpiperazin-1-yl)NC(O)O-]benzyl derived from D-phenylalanine and Q is -C(O)NH- then R^6 is not $-OC(CH_3)_3$;

(C) when R^1 is pyrimidin-2-yl, R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 form a pyrrolidinyl ring, R^5 is *p*-[$(CH_3)_2NC(O)O$-]benzyl and Q is -C(O)NH- then R^6 is not $-OC(CH_3)_3$; and

(D) when R^1 is *p*-methylphenyl, R^2 and R^3 together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 form a (2S)-piperazin-2-carbonyl ring; R^5 is *p*-[$(CH_3)_2NC(O)O$-]benzyl and Q is -C(O)NH- then R^6 is not $-OC(CH_3)_3$.

64. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to inhibit lymphocyte infiltration of immune cells in the spinal cord to promote remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is of formula II below



II

wherein:

R^{21} is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^{22} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^{21} and R^{22} together with the nitrogen atom bound to R^{22} and the SO_2 group bound to R^{21} can form a heterocyclic or a substituted heterocyclic group;

R^{23} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and where R^{22} and R^{23} together with the nitrogen atom bound to R^{22} and the carbon atom bound to R^{23} can form a saturated heterocyclic group or a saturated substituted heterocyclic group with the proviso that when monosubstituted, the substituent on said saturated substituted heterocyclic group is not carboxyl;

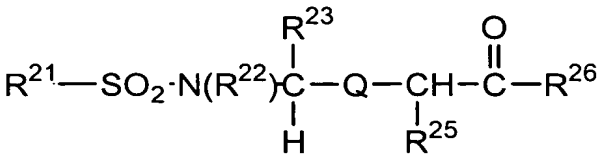
Q is $-C(X)NR^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl;

X is selected from the group consisting of oxygen and sulfur; and

R^{25} is $-CH_2Ar^{22}-R^{25'}$ where Ar^{22} is aryl or heteroaryl and $R^{25'}$ is selected from the group consisting of aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclic-O-, substituted heterocyclic-O-, heteroaralkoxy, and substituted heteroaralkoxy ;

and pharmaceutically acceptable salts thereof.

65. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to inhibit lymphocyte infiltration of immune cells in the spinal cord to promote remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is of formula IIA below



IIA

where

R^{21} is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^{22} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, and substituted heteroaryl, and R^{21} and R^{22} together with the nitrogen atom bound to R^{22} and the SO_2 group bound to R^{21} can form a heterocyclic or a substituted heterocyclic group;

R^{23} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, and substituted heterocyclic, and R^{22} and R^{23} together with the nitrogen atom bound to R^{22} and the carbon atom bound to R^{23} can form a saturated heterocyclic group or a saturated substituted heterocyclic group with the proviso that when monosubstituted, the substituent on said saturated substituted heterocyclic group is not carboxyl;

R^{25} is $-CH_2Ar^{22}-R^{25'}$ where Ar^{22} is aryl or heteroaryl and $R^{25'}$ is selected from the group consisting of aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy, , heterocyclic-O-, substituted heterocyclic-O-, heteroaralkoxy, and substituted heteroaralkoxy ;

R^{26} is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, $-NH(CH_2)_pCOOY$ where *p* is an

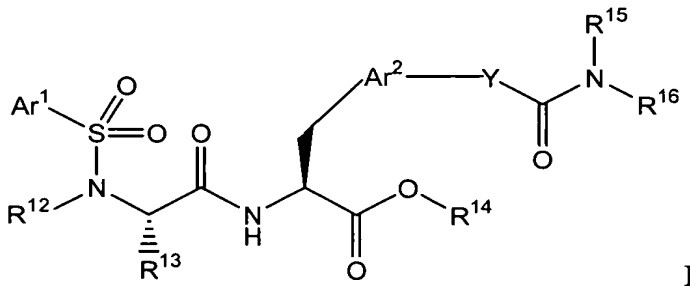
integer of from 1 to 8 and Y is as defined above, $-OCH_2NR^{29}R^{30}$ where R^{29} is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R^{30} is selected from the group consisting of hydrogen and $-CH_2COOR^{31}$ where R^{31} is alkyl, and $-NHSO_2Z'$ where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

Q is $-C(X)NR^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and

X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof.

66. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to inhibit lymphocyte infiltration of immune cells in the spinal cord to promote remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is of formula IB below



IB

wherein:

Ar^1 is selected from the group consisting of aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

Ar^2 is selected from the group consisting of aryl, substituted aryl, heteroaryl and substituted heteroaryl;

R^{12} is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, and substituted cycloalkyl or R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic group;

R^{13} is selected from the group consisting of hydrogen, alkyl, and substituted alkyl, or R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic group;

R^{14} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, and substituted aryl;

R^{15} is selected from the group consisting of alkyl, and substituted alkyl, or R^{15} and R^{16} together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group;

R^{16} is selected from the group consisting of alkyl and substituted alkyl or R^{15} and R^{16} together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group; and

Y is selected from the group consisting of -O-, $-NR^{100}-$, and $-CH_2-$ wherein R^{100} is hydrogen or alkyl;

and pharmaceutically acceptable salts thereof.

67. The method according to claim 66, wherein R^{12} is alkyl, substituted alkyl, or R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic group; and R^{14} is hydrogen or alkyl.

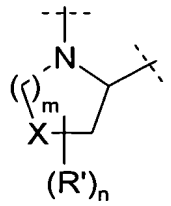
68. The method according to claim 66, wherein

Ar^1 is selected from the group consisting of phenyl, 4-methylphenyl, 4-*t*-butylphenyl, 2,4,6-trimethylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,4-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-

chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-bromophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3,4-dimethoxyphenyl, 4-*t*-butoxyphenyl, 4-(3'-dimethylamino-*n*-propoxy)-phenyl, 2-carboxyphenyl, 2-(methoxycarbonyl)phenyl, 4-($H_2NC(O)$-)phenyl, 4-($H_2NC(S)$-)phenyl, 4-cyanophenyl, 4-trifluoromethylphenyl, 4-trifluoromethoxyphenyl, 3,5-di-(trifluoromethyl)phenyl, 4-nitrophenyl, 4-aminophenyl, 4-($CH_3C(O)NH$-)phenyl, 4-(PhNHC(O)NH-)phenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[$CH_3SC(=NH)$-]phenyl, 4-chloro-3-[$H_2NS(O)_2$-]phenyl, 1-naphthyl, 2-naphthyl, pyridin-2-yl, pyridin-3-yl, pyridine-4-yl, pyrimidin-2-yl, quinolin-8-yl, 2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl, 2-thienyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-*N*-methylimidazol-4-yl, 1-*N*-methylpyrazol-3-yl, 1-*N*-methylpyrazol-4-yl, 1-*N*-butylpyrazol-4-yl, 1-*N*-methyl-3-methyl-5-chloropyrazol-4-yl, 1-*N*-methyl-5-methyl-3-chloropyrazol-4-yl, 2-thiazolyl and 5-methyl-1,3,4-thiadiazol-2-yl; and

Ar^2 is selected from the group consisting of phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, and 4-pyrid-2-onyl.

69. The method according to claim 66, wherein R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic of the formula:



wherein

X is selected from the group consisting of -S-, -SO-, $-SO_2$, and optionally substituted $-CH_2$-;

m is an integer of 0 to 12;

n is an integer of 0 to 2; and

R' is selected from the group consisting of alkyl, substituted alkyl, and amino.

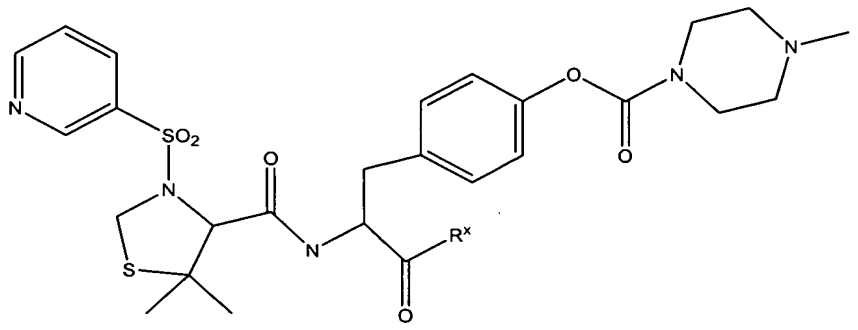
70. The method according to claim 69, wherein m is 1, X is -S- or -CH_2-, R' is alkyl or substituted alkyl.

71. The method according to claim 69, wherein R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic selected from the group consisting of azetidinyl, thiazolidinyl, piperidinyl, piperazinyl, thiomorpholinyl, pyrrolidinyl, 4-hydroxypyrrolidinyl, 4-oxopyrrolidinyl, 4-fluoropyrrolidinyl, 4,4-difluoropyrrolidinyl, 4-(thiomorpholin-4-ylC(O)O-)pyrrolidinyl, 4-[$CH_3S(O)_2O$-]pyrrolidinyl, 3-phenylpyrrolidinyl, 3-thiophenylpyrrolidinyl, 4-aminopyrrolidinyl, 3-methoxypyrrolidinyl, 4,4-dimethylpyrrolidinyl, 4-*N*-Cbz-piperazinyl, 4-[$CH_3S(O)_2$-]piperazinyl, thiazolidin-3-yl, 5,5-dimethyl-thiazolidin-3-yl, 5,5-dimethylthiazolindin-4-yl, 1,1-dioxo-thiazolidinyl, 1,1-dioxo-5,5-dimethylthiazolidin-2-yl and 1,1-dioxothiomorpholinyl.

72. The method according to claim 66, wherein Y is -O-, and when Y is -O-, the moiety -OC(O)$NR^{15}R^{16}$ is selected from the group consisting of $(CH_3)_2$NC(O)O-, (piperidin-1-yl)C(O)O-, (4-hydroxypiperidin-1-yl)C(O)O-, (4-formyloxypiperidin-1-yl)C(O)O-, (4-ethoxycarbonylpiperidin-1-yl)C(O)O-, (4-carboxylpiperidin-1-yl)C(O)O-, (3-hydroxymethylpiperidin-1-yl)C(O)O-, (4-hydroxymethylpiperidin-1-yl)C(O)O-, (4-piperidon-1-yl ethylene ketal)C(O)O-, (piperazin-1-yl)-C(O)O-, (1-Boc-piperazin-4-yl)-C(O)O-, (4-methylpiperazin-1-yl)C(O)O-, (4-methylhomopiperazin-1-yl)C(O)O-, (4-(2-hydroxyethyl)piperazin-1-yl)C(O)O-, (4-phenylpiperazin-1-yl)C(O)O-, (4-(pyridin-2-yl)piperazin-1]-yl)C(O)O-, (4-(4-trifluoromethylpyridin-2-yl)piperazin-1-yl)C(O)O-, (4-(pyrimidin-2-yl)piperazin-1-yl)C(O)O-, (4-acetylpiperazin-1-yl)C(O)O-, (4-(phenylC(O)-)piperazin-1-yl)C(O)O-, (4-(pyridin-4'-ylC(O)-)piperazin-1-yl)C(O)O, (4-(phenylNHC(O)-)piperazin-1-yl)C(O)O-, (4-(phenylNHC(S)-)piperazin-1-yl)C(O)O-, (4-methanesulfonylpiperazin-1-yl-C(O)O-, (4-trifluoromethanesulfonylpiperazin-1-yl-

C(O)O-, (morpholin-4-yl)C(O)O-, (thiomorpholin-4-yl)C(O)O-, (thiomorpholin-4'-yl sulfone)-C(O)O-, (pyrrolidin-1-yl)C(O)O-, (2-methylpyrrolidin-1-yl)C(O)O-, (2-(methoxycarbonyl)pyrrolidin-1-yl)C(O)O-, (2-(hydroxymethyl)pyrrolidin-1-yl)C(O)O-, (2-(N,N-dimethylamino)ethyl)(CH_3)NC(O)O-, (2-(N-methyl-N-toluene-4-sulfonylamino)ethyl)(CH_3)N-C(O)O-, (2-(morpholin-4-yl)ethyl)(CH_3)NC(O)O-, (2-(hydroxy)ethyl)(CH_3)NC(O)O-, bis(2-(hydroxy)ethyl)NC(O)O-, (2-(formyloxy)ethyl)(CH_3)NC(O)O-, ($CH_3OC(O)CH_2$)HNC(O)O-, and 2-[(phenylNHC(O)O-)ethyl-]HNC(O)O-.

73. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to inhibit lymphocyte infiltration of immune cells in the spinal cord to promote remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is of formula IC below



IC

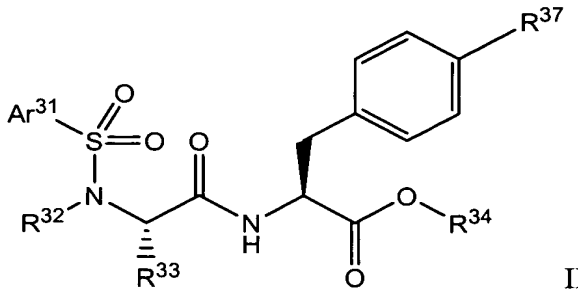
wherein

R^x is hydroxy or C_{1-5} alkoxy; and

pharmaceutically acceptable salts thereof.

74. The use according to claim 73, wherein the compound is *N*-[*N*-(3-pyridinesulfonyl)-L-3,3-dimethyl-4-thiaprolyl]-*O*-[1-methylpiperazin-4-ylcarbonyl]-L-tyrosine isopropyl ester.

75. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to inhibit lymphocyte infiltration of immune cells in the spinal cord to promote remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is of formula IIB below



IIB

wherein:

Ar^{31} is selected from the group consisting of aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^{32} is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, and substituted cycloalkyl or R^{32} and R^{33} together with the nitrogen atom bound to R^{32} and the carbon atom bound to R^{33} form a heterocyclic or substituted heterocyclic group;

R^{33} is selected from the group consisting of hydrogen, alkyl, and substituted alkyl, or R^{32} and R^{33} together with the nitrogen atom bound to R^{32} and the carbon atom bound to R^{33} form a heterocyclic or substituted heterocyclic group;

R^{34} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, and substituted aryl; and

R^{37} is aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy;

and pharmaceutically acceptable salts thereof.

76. The method according to claim 75, wherein R^{32} is alkyl, substituted alkyl, or R^{32} and R^{33} together with the nitrogen atom bound to R^{32} and the carbon atom bound to R^{33} form a heterocyclic or substituted heterocyclic group; R^{34} is hydrogen or alkyl; and R^{37} is aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, or substituted heterocyclic.

77. The method according to claim 75, wherein R^{37} is substituted aryl, wherein the aryl is substituted with one to three substituents independently selected from the group consisting alkyl and alkoxy, or a substituted heteroaryl, wherein the heteroaryl is substituted with one to three substituents independently selected from the group consisting alkyl, alkoxy, and oxo.

78. The method according to claim 77, wherein R^{37} is substituted aryl or substituted heteroaryl wherein aryl or heteroaryl is 2,6-di-substituted.

79. The method according to claim 78, wherein R^{37} is selected from the group consisting of 2,6-dialkoxyaryl, 2,6-dialkoxyheteroaryl, 2-alkyl-6-alkoxyaryl, 2-alkyl-6-alkoxyheteroaryl, 2-oxo-6-alkoxyheteroaryl, 2-oxo-6-alkylheteroaryl, and optionally substituted imidazolidin-2,4-dion-3-yl.

80. The method according to claim 75, wherein Ar^{31} is selected from the group consisting of 4-methylphenyl, 4-chlorophenyl, 1-naphthyl, 2-naphthyl, 4-

methoxyphenyl, phenyl, 2,4,6-trimethylphenyl, 2-(methoxycarbonyl)phenyl, 2-carboxyphenyl, 3,5-dichlorophenyl, 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 3,4-dimethoxyphenyl, 4-($CH_3C(O)NH$-)phenyl, 4-trifluoromethoxyphenyl, 4-cyanophenyl, 3,5-di-(trifluoromethyl)phenyl, 4-*t*-butylphenyl, 4-*t*-butoxyphenyl, 4-nitrophenyl, 2-thienyl, 1-N-methyl-3-methyl-5-chloropyrazol-4-yl, 1-N-methylimidazol-4-yl, 4-bromophenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[$CH_3SC(=NH)$]phenyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-N-methyl-4-pyrazolyl, 2-thiazolyl, 5-methyl-1,3,4-thiadiazol-2-yl, 4-[$H_2NC(S)$]phenyl, 4-aminophenyl, 4-fluorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,5-difluorophenyl, pyridin-3-yl, pyrimidin-2-yl, 4-(3′-dimethylamino-*n*-propoxy)-phenyl, and 1-methylpyrazol-4-yl.

81. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to inhibit lymphocyte infiltration of immune cells in the spinal cord to promote remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is selected from the group consisting of:

N-[*N*-(3-pyridinesulfonyl)-L-3,3-dimethyl-4-thiaprolyl]-*O*-[1-methylpiperazin-4-ylcarbonyl]-L-tyrosine isopropyl ester;

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *n*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine cyclopentyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *n*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine cyclopentyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoyloxy)phenylalanine ethyl ester

N-(α-toluenesulfonyl)-L-prolyl-L-4-(*N*-methylisonipecotoyloxy)phenylalanine ethyl ester

N-(α-toluenesulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-3-(*N*,*N*-dimethylcarbamyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butylcarbonyloxy-4-phenylpiperidin-4-ylcarbonyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)sarcosyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(α-toluenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(α-toluenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(4-benzyloxycarbonylpiperazin-2-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(isonipecotoyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine

N-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(pyridine-3-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-D-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine neopentyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine neopentyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(pyridine-3-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(pyrimidine-2-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-acetylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)-3-nitrophenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-*N*-methyl-2-(*tert*-butyl)glycinyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-trifluoromethoxybenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

3-[*N*-(toluene-4-sulfonyl)-*N*-methylamino]-1-[1-*tert*-butyloxycarbonyl-2-(*N*,*N*-dimethylcarbamyloxy)phenylethyl]azetidin-2-one

N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(pyrimidine-2-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

3-[*N*-(toluene-4-sulfonyl)-*N*-methylamino]-1-[1-carboxy-2-(*N*,*N*-dimethylcarbamyloxy)phenylethyl]azetidin-2-one

N-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(2,5-dichlorothiophene-3-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-*tert*-butylbenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(pyridine-2-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(3-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(2,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(4-trifluoromethoxybenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *iso*-propyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4′-acetylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4′-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4′-phenylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4′-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine (N′-*tert*-butoxycarbonyl-2-amino-2-methylpropyl) ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4′-acetylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4′-hydroxypiperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2′-(morpholin-4′-yl)ethyl)carbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2′-hydroxyethyl)-N-methylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-4-(4′-(2-hydroxyethyl)piperazin-1-ylcarbonyloxy)-L-phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2′-formyloxyethyl)-N-methylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2′-hydroxyethyl)-N-methylcarbamyloxy)phenylalanine isopropyl ester

N-(toulene-4-sulfonyl)-L-prolyl-L-4-(N-(methoxycarbonylmethyl)carbamyloxy)phenylalanine *tert*-butyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(4-N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4′-methoxypiperidin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4′-methoxypiperidin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-*trans*-4-hydroxyprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(3-fluorobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(morpholino-sulfonyl)-L-prolyl-L-(4-*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(morpholino-sulfonyl)-L-prolyl-L-(4-*N,N*-dimethylcarbamyloxy)phenylalanine

N-(1-methylpyrazole-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(2,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl-thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(1-methylpyrazole-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(4-*tert*-butylbenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-(3,3-dimethyl)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(2,5-dichlorothiophene-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(4-methoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(4-methoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-(1-oxo-thiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(1-oxo-thiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(3,4-difluorobenzenesulfonyl)-L-prolyl-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3,4-difluorobenzenesulfonyl)-L-prolyl-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(3,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(3,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester

N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(pyridine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(pyridine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(pyridine-2-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(pyridine-2-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(2-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3,4-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3,5-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(2,4-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(4-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(2-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3,4-dichlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3,5-dichlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3-chlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(3,4-dichlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(2-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3,4-dimethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(2,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3,4-dichlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(3-chlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(3-chloro-4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(3,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thioprolyl-L-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

N-(3,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(2,5-dichlorothiophene-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

N-(8-quinolinesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(8-quinolinesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(8-quinolinesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isoproplyl ester

N-(8-quinolinesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4′-(ethoxycarbonyl)piperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(3-sulfonamido-4-chloro-benzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-(1-oxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(2,4-difluorobenzenefulfonyl)-L-(1-oxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropyl ester

N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine cyclopropylmethyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine methyl ester

N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester

N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine cyclopropylmethyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-methoxyphenyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *n*-butyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *n*-propyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropionyloxymethyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-(4'-(2'-aminoethyl)morpholino)carbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-[4-(carboxy)piperidin-1-ylcarbonyloxy]phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-bis-(2-hydroxyethyl)carbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-trifluoromethanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-(*N*-phenylurea)benzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(2-trifluoroacetyl-1,2,3,4-tetrahydroisoquinolin-7-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(pyridine-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(pyridine-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-methyl-N-(2-dimethylaminoethyl)carbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiapropyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethycarbamyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)]phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)]phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2'-pyridyl)-piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2'-pyridyl)-piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

N-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylcarbamylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylcarbamylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(1-*n*-butylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyridin-4-ylcarbonyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-*trans*-4-hydroxyprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine

N-(toluene-4-sulfonyl)-L-(4,4-difluoro)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-(4,4-difluoro)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(1-methyl-1H-imidazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-4-(thiomorpholin-4-ylcarbonyloxy)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

N-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine methyl ester

N-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-4-hydroxyprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine methyl ester

N-(3-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-[*N*-methyl-*N*-(2-(*N*'-methyl-*N*'-toluenesulfonyl-amino)ethyl)carbamyloxy]phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-[*N*-(2-(*N*'-phenylaminocarbonyloxy)ethyl)carbamyloxy)]phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-L-4-(*trans*-hydroxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-L-4-(*trans*-hydroxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(pyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(2-methoxycarbonylpyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(4-hydroxy)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-(2-methoxyethoxy)ethyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-fluoro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-(1-methanesulfonylpyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(4-hydroxy)prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(4-oxo)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(4-oxo)prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine

N-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

N-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(*N*-phenylthiocarbonyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-4-(methanesulfonyloxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-aminocarbonylbenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(4-aminocarbonylbenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

N-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine ethyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-(1-methanesulfonylpyrazin-3-carbonyl)-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-4-(methanesulfonyloxy)prolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

N-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(4-hydroxy)prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(1-methylimidazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-phenoxyethyl ester

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

N-(3-chloro-1,5-dimethylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(5-trifluoromethyl-2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

and pharmaceutically acceptable salts thereof.

82. The method according to any one of claims 66, 73, and 75, wherein the subject with paralysis suffers from multiple sclerosis, a congenital metabolic disorder, a neuropathy with abnormal myelination, drug induced demyelination, radiation induced demyelination, a hereditary demyelinating condition, a prion induced demyelinating condition, encephalitis induced demyelination, or a spinal cord injury.

83. The method according to any one of claims 66, 73, and 75, wherein the subject is human.

84. The method according to any one of claims 66, 73, and 75, further comprising co-administering an immunosuppressant.

85. The method according to any one of claims 66, 73, and 75, wherein the compound is administered chronically to the subject in need thereof.

86. The method of a compound according to claim 85, wherein the chronic administration of the compound occurs weekly or monthly for at least 12 months.

87. The method of a compound according to claim 84, wherein the immunosuppressant is adrenocorticotropic hormone, a corticosteroid, or an interferon.

88. The method of a compound according to claim 87, wherein the interferon is interferon beta-1b or interferon beta-1a.

89. The method of a comopund according to claim 87, wherein the corticosteroid is prednisone, methylprednisolone, dexamethasone cortisol, cortisone,

fludrocortisone, prednisolone, 6α-methylprednisolone, triamcinolone, or betamethasone.